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(FILE 'HOME' ENTERED AT 13:29:19 ON 27 MAY 2009)

FILE 'CAPLUS' ENTERED AT 13:29:30 ON 27 MAY 2009

E WANG JIABING/AU

SET EXPAND CONTINUOUS

L1 55 S E1-E3
L2 5 S L1 AND ANDROGENS/IT
L3 2 S L2 AND (PY<2004 OR AY<2004 OR PRY<2004)
E MCVEAN CAROL/AU
L4 11 S E16
L5 1 S L4 AND ANDROGENS/IT
L6 5 S L1 AND ANDROGENS/CT
L7 2 S L6 AND (PY<2004 OR AY<2004 OR PRY<2004)
L8 0 S L7 NOT L3

FILE 'REGISTRY' ENTERED AT 13:33:32 ON 27 MAY 2009

E 153114-66-0/RN

L9 1 S E27

FILE 'CAPLUS' ENTERED AT 13:33:55 ON 27 MAY 2009

L10 6 S L9
L11 6 S L10 AND (PY<2004 OR AY<2004 OR PRY<2004)

FILE 'REGISTRY' ENTERED AT 13:35:21 ON 27 MAY 2009

E 828241-49-2/RN

L12 1 S E39
E 153114-77-3/RN
L13 1 S E51
E 828241-50-5/RN
L14 1 S E63
E 827581-88-4/RN
L15 1 S E75

FILE 'CAPLUS' ENTERED AT 13:37:18 ON 27 MAY 2009

L16 1 S L15

FILE 'REGISTRY' ENTERED AT 13:38:07 ON 27 MAY 2009

E 827582-67-2/RN

L17 1 S E87

FILE 'CAPLUS' ENTERED AT 13:38:32 ON 27 MAY 2009

L18 1 S L17

FILE 'REGISTRY' ENTERED AT 13:38:57 ON 27 MAY 2009

E 827583-17-5/RN

L19 1 S E99

FILE 'CAPLUS' ENTERED AT 13:39:19 ON 27 MAY 2009

L20 1 S L19

FILE 'REGISTRY' ENTERED AT 13:39:41 ON 27 MAY 2009

E 827581-68-9/RN

L21 0 S E111
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L22 1 S E123

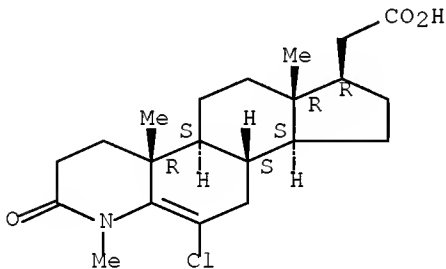
L23

L24

L25

L10

Abs

 \Rightarrow

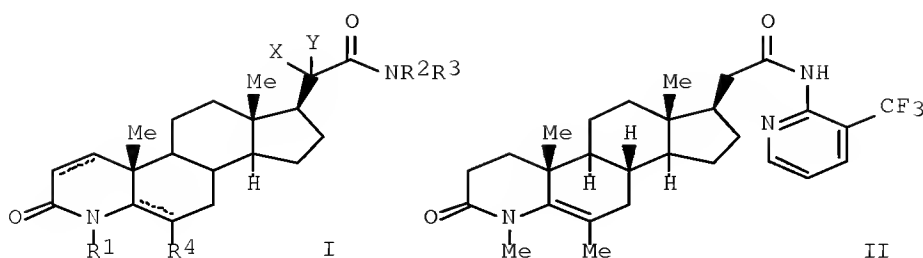
L11

 \Rightarrow

L11

TI

GI



AB Azasteroids of structural formula I [X, Y = H, halo, OH, alkoxy, hydroxymethyl, alkyl; R1 = H, acyl, OH, alkyl, etc.; R1R4 = 5-6 membered ring; R2 = H, alkyl; R3 = aryl, alkylaryl, heteroaryl, alkyl, etc.; R2R3 = 5-6 membered ring; R4 = halo, alkyl, cyclopropa, oxo, etc.] are prepared as modulators of the androgen receptor (AR) in a tissue selective manner. These compds. are useful in the enhancement of weakened muscle tone and the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, benign prostatic hyperplasia (BPH), cancer cachexia, Alzheimer's disease, muscular dystrophies, cognitive decline, sexual dysfunction, sleep apnea, depression, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents. Thus, II was prepared. Some of the compds. had IC50 values of 1 μ M or less in an assay for endogenously expressed AR.

ACCESSION NUMBER: 2005:1154379 CAPLUS Full-text
DOCUMENT NUMBER: 143:406045
TITLE: Preparation of 17 β -acetamide-4-azasteroids as androgen receptor modulators
INVENTOR(S): Wang, Jiabing; Mcvean, Carol A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005099707	A1	20051027	WO 2005-US11537	
20050404				

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,

GB, GD,
 KR, KZ,
 MZ, NA,
 SK, SL,
 YU, ZA,
 ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
 ZW, AM,
 DE, DK,
 PL, PT,
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 LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,
 NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,
 SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,

=> s l18

L19 1 L18

=> d his

(FILE 'HOME' ENTERED AT 14:01:55 ON 27 MAY 2009)

FILE 'REGISTRY' ENTERED AT 14:02:12 ON 27 MAY 2009

E 867345-26-4/RN

SET EXPAND CONTINUOUS

L1 1 S E3

FILE 'REGISTRY' ENTERED AT 14:04:07 ON 27 MAY 2009

L2 STRUCTURE UPLOADED

L3 2 S L2 SSS SAM

L4 30 S L2 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:04:41 ON 27 MAY 2009

L5 1 S L4

FILE 'BIOSIS' ENTERED AT 14:05:00 ON 27 MAY 2009

L6 0 S L4

FILE 'MARPAT' ENTERED AT 14:05:12 ON 27 MAY 2009

L7 0 S L4

FILE 'REGISTRY' ENTERED AT 14:12:21 ON 27 MAY 2009

L10 1 S 867345-83-3/RN

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SET NOTICE LOGIN DISPLAY

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FILE 'REGISTRY' ENTERED AT 14:13:13 ON 27 MAY 2009

L12 1 S 867345-82-2/RN

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SET NOTICE LOGIN DISPLAY

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1 S 867345-77-5/RN
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SET NOTICE LOGIN DISPLAY

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1 S 867345-26-4/RN
SET NOTICE 1 DISPLAY
SET NOTICE LOGIN DISPLAY

L19 FILE 'CAPLUS' ENTERED AT 14:14:56 ON 27 MAY 2009
1 S L18

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(FILE 'HOME' ENTERED AT 09:41:19 ON 28 MAY 2009)

L1 FILE 'REGISTRY' ENTERED AT 09:41:31 ON 28 MAY 2009
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L2 0 S L1 SSS FULL
L3 STRUCTURE UPLOADED
L4 476 S L3 SSS FULL

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233 S L4
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L9 12 S L8 AND (PY<2004 OR AY<2004 OR PRY<2004)
L10 10 S L9 NOT L7
L11 3 S L5 AND HORMONE REPLACEMENT THERAPY/IT
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L13 1 S L11 AND (PY<2004 OR AY<2004 OR PRY<2004)
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L15 3 S L5 AND HYPOGONADISM/IT
L16 207 S L5 NOT L7
L17 1 S L15 NOT L7
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L19 7 S L5 AND CANCER/IT

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 L22 4 S L5 AND OSTEOPOROSIS/IT
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 L27 7 S L5 AND MUSCLE/IT
 L28 4 S L27 AND (PY<2004 OR AY<2004 OR PRY<2004)
 L29 2 S L28 NOT L7
 L30 0 S L5 AND NEURODEGENERATION/IT

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(FILE 'HOME' ENTERED AT 10:58:49 ON 28 MAY 2009)

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 L2 1 S E15
 E 188754-70-3/RN
 L3 1 S E27
 E 73671-86-0/RN
 L4 1 S E39
 E 158522-92-0/RN
 L5 1 S E51
 E 158522-93-1/RN
 L6 1 S E63

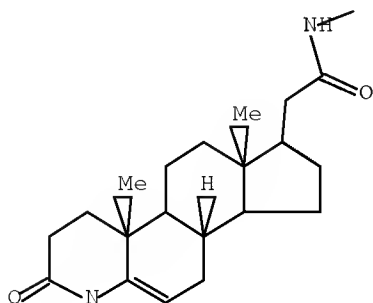
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 E 867345-26-4/RN
 L7 1 S E75
 L8 STRUCTURE UPLOADED

L8 STRUCTURE UPLOADED

=> d 18

L8 HAS NO ANSWERS

L8 STR



L9 0 S L8 SSS FULL
 L10 STRUCTURE UPLOADED

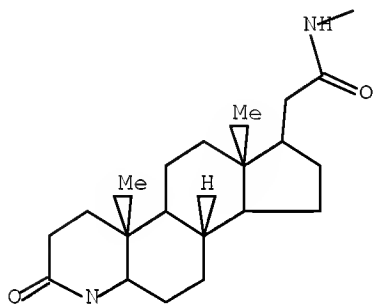
L11 2 S L10 SSS FULL

L10 STRUCTURE UPLOADED

=> d l10

L10 HAS NO ANSWERS

L10 STR



FILE 'CAPLUS' ENTERED AT 11:09:43 ON 28 MAY 2009

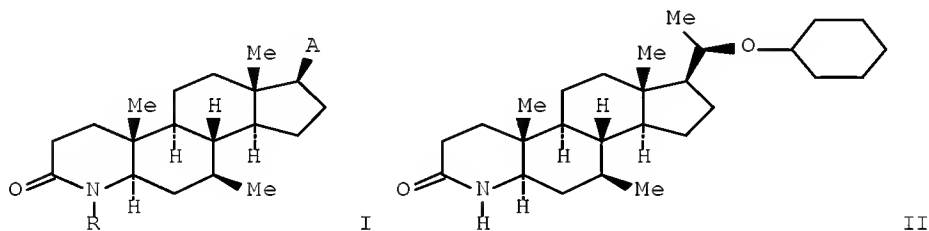
L12 6 S L11

L13 6 S L12 AND (PY<2004 OR AY<2004 OR PRY<2004)

L13 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of 7β-substituted-4-aza-5α-androstan-3-ones as
5α-reductase inhibitors

GI



AB New 7β-substituted 4-aza-5α-androstan-3-ones of formula I [R = H, Me, Et; A = aminoalkyl, alkyl, alkoxy, etc.] and related compds. are prepared as 5α-reductase inhibitors. Thus, II was prepared from 20-hydroxy-7β-methyl-5α-4-azapregnan-3-one and dimethoxycyclohexane in 2 steps.

ACCESSION NUMBER: 1998:62248 CAPLUS Full-text

DOCUMENT NUMBER: 128:140893

ORIGINAL REFERENCE NO.: 128:27727a,27730a

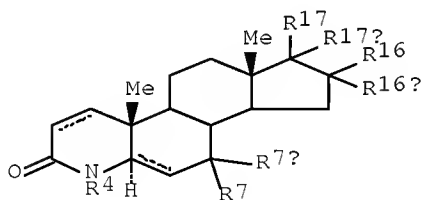
TITLE: Preparation of

7β-substituted-4-aza-5α-androstan-3-ones as

INVENTOR(S): 5 α -reductase inhibitors
 Bakshi, Raman K.; Rasmusson, Gary H.; Tolman,
 Richard
 L.; Patel, Gool F.; Harris, Georgianna S.;
 Graham,
 Donald W.; Witzel, Bruce E.
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: U.S., 95 pp., Cont.-in-part of U.S. Ser. No.
 886,572,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5710275	A	19980120	US 1995-341602	
19950403 <--				
WO 9323420	A1	19931125	WO 1993-US4643	
19930514 <--				
W: BB, BG, BR, CZ, FI, HU, KR, KZ, LK, MG, MN, MW, NO, NZ,				
PL, RO,				
RU, SD, SK, UA, US				
RW: BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1992-886572	B2
19920520 <--				
			WO 1993-US4643	W
19930514 <--				

L13 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
 TI Preparation of substituted 4-aza-3-oxo-steroids for use as
 5 α -reductase inhibitors
 GI



I

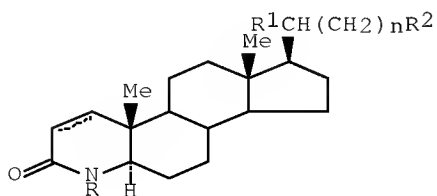
AB Steroids such as 4-aza-5 α -androstan-ones I [1,2-, 5,6-saturated or unsatd.; R4 = H, Me, Et; R7 = R7a = H, OH, alkyl, alkenyl, carbamoyloxy, carboxy, etc.; R7R7a = oxo, cycloalkyl, etc.; R16 = R16a = H, alkyl; R16R16a = cycloalkenyl; R17 = R17a = H, acyl, carbamoyl, aminoalkyl, alkyl, etc.; R17R17a = oxo, etc.] were prepared as 5 α -reductase inhibitors for treatment of hyperandrogenic conditions. Thus, 4-methyl-17 β -

(trimethylacetamido)-5 α -4-azaandrostan-3-one was prepared via oximation of 4-methyl-3-oxo-5 α -4-azaandrostan-17- carboxaldehyde, hydrogenation to form the corresponding amine followed by N-acylation with Me₃CCO₂Cl. The prepared compds. were tested for inhibition of human prostatic and scalp 5 α -reductase, however, activities for specific compds. were not presented.

ACCESSION NUMBER: 1997:776029 CAPLUS Full-text
DOCUMENT NUMBER: 128:61680
ORIGINAL REFERENCE NO.: 128:12090h,12091a
TITLE: Preparation of substituted 4-aza-3-oxo-steroids for use as 5 α -reductase inhibitors
INVENTOR(S): Durette, Philippe L.; Hagmann, William; Rasmusson, Gary H.; Tolman, Richard L.; Kopka, Ihor E.; Sahoo, Soumya P.; Esser, Craig K.; Steinberg, Nathan G.;
PATENT ASSIGNEE(S): Graham, Donald W.; Witzel, Bruce E. Merck and Co., Inc., USA
SOURCE: U.S., 139 pp., Cont.-in-part of U.S. Ser. No. 886,537, abandoned.
DOCUMENT TYPE: CODEN: USXXAM
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT: English
PATENT INFORMATION: 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5693809	A	19971202	US 1995-338571	
19950512 <--				
PRIORITY APPLN. INFO.: 19920520 <--			US 1992-886537	B2

L13 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
TI 17-Ester, amide, and ketone derivatives of 3-oxo-4-azasteroids as 5 α -reductase inhibitors
GI



I

AB Title compds. I [R = H, Me, Et; R1 = H, Me; R2 = acyl, carbamoyl, carboxylic ester; n = 0-10] were prepared for use as 5 α -reductase inhibitors. Thus, 3-oxo-4-methyl-N-phenyl-4-aza-5 α -pregnane-21-carboxylic acid was converted to its anilide by reaction with PhNH2 in presence of Me2CHCOCl, N-methylmorpholine, and DMAP.

ACCESSION NUMBER: 1996:323793 CAPLUS Full-text
DOCUMENT NUMBER: 125:58853
ORIGINAL REFERENCE NO.: 125:11337a,11340a
TITLE: 17-Ester, amide, and ketone derivatives of
3-oxo-4-azasteroids as 5 α -reductase inhibitors
INVENTOR(S): Graham, Donald W.; Aster, Susan D.; Hagmann,
William;
Tolman, Richard L.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 22 pp., Cont.-in-part of U.S. Ser. No.
886,021,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

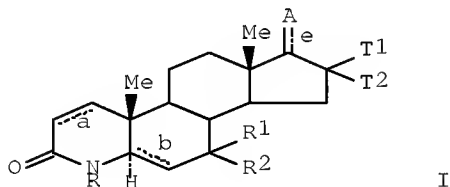
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 5510485	A	19960423	US 1994-335792	
19941110 <--				
PRIORITY APPLN. INFO.:			US 1992-886021	B2
19920520 <--				

L13 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

TI preparation of substituted 4-aza-5 α -androstanones as 5 α -reductase inhibitors

GI



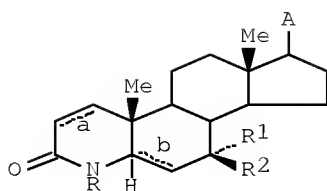
AB 4-Aza-5 α -androstan-3-ones [I; R = H, Me, Et; T1, T2 = H, C1-6 alkyl, T1T2 = C1-6 alkylidene; R1, R2 = H, C1-4 alkyl, C2-4 alkenyl, CO2H, OH, CH2CO2H, carbamoyloxy, etc., R1R2 = O; A = (substituted) hydrocarbyl, carbamoyl, etc.; a, b, e = single or double bond] and related compds., effective at 0.01-7 mg/kg as 5 α -reductase inhibitors in treating benign prostatic hypertrophy, prostatitis, prostatic carcinoma, hyperandrogenic conditions,

etc., are prepared Thus, oximation of 4-methyl-3-oxo-4-aza-5 α -androstane-17 β -carboxaldehyde and subsequent reduction by H over PtO₂ gave the corresponding 17 β -(aminomethyl) derivative Acylation of this aminomethyl compound with MeO₂C(CH₂)₇COCl in pyridine/CH₂Cl₂ gave 17 β -[[[8-(methoxycarbonyl)octanoyl]amino]methyl]-4-methyl-4-aza- 5 α -androstan-3-one.

ACCESSION NUMBER: 1995:266948 CAPLUS Full-text
DOCUMENT NUMBER: 122:56297
ORIGINAL REFERENCE NO.: 122:10919a,10922a
TITLE: preparation of substituted 4-aza-5 α -androstanones as 5 α -reductase inhibitors
INVENTOR(S): Durette, Philippe L.; Hagmann, William; Rasmusson, Gary H.; Tolman, Richard L.; Kopka, Ihor E.; Sahoo, Soumya P.; Esser, Craig K.; Steinberg, Nathan G.;
PATENT ASSIGNEE(S): Graham, Donald W.; Witzel, Bruce E.
SOURCE: Merck and Co., Inc., USA
PCT Int. Appl., 533 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9323039	A1	19931125	WO 1993-US4734	
19930518 <--				
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9342519	A	19931213	AU 1993-42519	
19930518 <--				
PRIORITY APPLN. INFO.: 19920520 <--			US 1992-886537	A2
			WO 1993-US4734	A
19930518 <--				

L13 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
TI preparation of 7 β -substituted-4-aza-5 α -androstan-3-ones as 5 α -reductase inhibitors
GI



I

AB The title compds. [I; R = H, Me, Et; R1 = H; R2 = substituted C1-4 alkyl, C2-4 alkenyl, OH, CO2H, ester residue, CH2CO2H, etc., R1R2 = O; A = oxo, substituted alkyl, etc.; a, b = saturated or unsatd. (α -H is absent)], useful in treating male pattern baldness, benign prostatic hypertrophy, prostatic carcinoma, prostatitis, etc. at 0.01-7 mg/kg-day, are prepared Oxidative cleavage of 17 β -[(tert-butyldimethylsilyl)oxy]-7 β -methylandrosta-4-en-3-one with NaIO4 and KMnO4 in tert-BuOH at 80° gave 17 β -[(tert-butyldimethylsilyl)oxy]-7 β -methyl-5-oxo-A-nor-3,5-secoandrostan-3-oic acid, which was heated with MeNH2.HCl and NaOAc in HOCH2CH2OH at 180° to give the aza analog I (R = R2 = Me, R1 = H, A = β -tert-BuSiMe2O, a = saturated, b = unsatd., α -H absent).

ACCESSION NUMBER: 1994:680955 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 121:280955

ORIGINAL REFERENCE NO.: 121:51307a,51310a

TITLE: preparation of
7 β -substituted-4-aza-5 α -androstan-3-ones as
5 α -reductase inhibitors

INVENTOR(S): Bakshi, Raman K.; Rasmusson, Gary H.; Tolman,
Richard

Graham, Donald L.; Patel, Gool F.; Harris, Georgianna;

W.; Witzel, Bruce E.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: Eur. Pat. Appl., 229 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

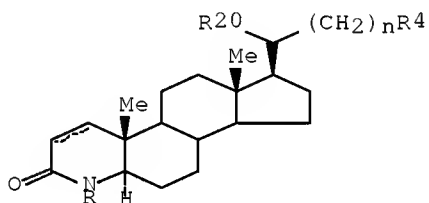
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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EP 572166	A1	19931201	EP 1993-303882	
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IL 105715	A	19970713	IL 1993-105715	
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19930519 <--				
AU 9338698	A	19931125	AU 1993-38698	

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 CN 1087092 A 19940525 CN 1993-107704
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 EP 778284 A2 19970611 EP 1996-202933
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 EP 778284 A3 19970813
 EP 778284 B1 20031126

L13 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
 TI Preparation of 17-ester, -amide, and -ketone derivatives of
 3-oxo-4-azasteroids as testosterone 5 α -reductase inhibitors
 GI



I

AB Title compds. [I; R = H, Me, Et; R4 = COR1, CONHR2, CO2R3; R1 = (hetero)aryl; R2 = substituted Ph, (substituted)heteroaryl, cycloalkyl; R3 = cycloalkyl, (substituted)aryl; R20 = H, Me; n = 0-10; dashed line = optional bond] were prepared as testosterone 5 α -reductase inhibitors (no data). Thus, 4-methyl-17 β -trifluoromethylsulfonyloxy-4-aza- 5 α -androst-16-en-3-one was condensed with HC.tplbond.CCH2CH2CO2Me and the reduced product saponified to give I (R = Me, R4 CO2H, R20 = H, n = 3).

ACCESSION NUMBER: 1994:134931 CAPLUS Full-text
 DOCUMENT NUMBER: 120:134931
 ORIGINAL REFERENCE NO.: 120:23791a, 23794a
 TITLE: Preparation of 17-ester, -amide, and -ketone derivatives of 3-oxo-4-azasteroids as testosterone 5 α -reductase inhibitors

INVENTOR(S): Graham, Donald W.; Aster, Susan D.; Hagmann, William;

PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: PCT Int. Appl., 60 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PRIORITY APPLN. INFO.:
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      WO 1993-US4631      A
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